```
FILE 'REGISTRY' ENTERED AT 09:57:53 ON 30 MAY 2007
Ll
              3 S OZARELIX OR D-63153
     FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE, TOXCENTER' ENTERED AT 09:58:34 ON
     30 MAY 2007
             20 S L1
L2
L3
           1208 S L2 AND (INORGANIC (W)SALT) OR (ACETIC (W)ACID(W)SALT)
L4
              2 S L2 AND ((INORGANIC (W)SALT) OR (ACETIC (W)ACID(W)SALT))
L5
              3 S L2 AND PROSTATE (W) CANCER
1.6
              2 S L2 AND (HORMONE(W) DEPENDENT(W) DISORDER OR HORMONE-DEPENDENT (
     FILE 'MEDLINE, BIOSIS, CAPLUS, EMBASE, TOXCENTER' ENTERED AT 10:28:37 ON
     30 MAY 2007
L7
           7010 S LHRH (W) ANTAGONIST OR GNRH (W) ANTAGONIST
L8
              3 S L7 AND (INORGANIC (W) SALT OR (ACETIC (W)ACID(W)SALT))
              8 S L7 AND SODIUM(W)CHLORIDE
L9
L10
              2 S L1 AND SODIUM(W)CHLORIDE
L11
             41 S L7 AND MANNITOL
L12
             2 S L9 AND MANNITOL
L13
            318 S L7 AND (PROSTATE (W) CANCER)
L14
              2 S L8 AND MANNITOL
L15
              2 S L8 AND PROSTATE
L16
              0 S L8 AND HORMONE-DEPENDENT(W) DISORDER
              0 S L8 AND (HORMONE(W) DEPENDENT(W) DISORDER)
L17
=> s l13 and (hormone(w)dependent(w)disorder or (hormone-dependent(w)disorder))
            11 L13 AND (HORMONE(W) DEPENDENT(W) DISORDER OR (HORMONE-DEPENDENT(
T.1 8
               W) DISORDER))
=> d l18 1-11 ibib
L18 ANSWER 1 OF 11
                        MEDLINE on STN
ACCESSION NUMBER:
                    2005475878
                                   MEDLINE
DOCUMENT NUMBER:
                    PubMed ID: 16144493
TITLE:
                    New developments in the use of peptide gonadotropin-
                    releasing hormone antagonists versus agonists.
AUTHOR:
                    Schultze-Mosgau Askan; Griesinger Georg; Altgassen
                    Christopher; von Otte Soeren; Hornung Daniela; Diedrich
CORPORATE SOURCE:
                    Department of Obstetrics and Gynecology, Medical University
                    of Schleswig-Holstein, Campus Lubeck, Ratzeburger Allee
                    160, 23538 Lubeck, Germany.. A.Schultze-Mosgau@web.de
SOURCE:
                    Expert opinion on investigational drugs, (2005 Sep) Vol.
                    14, No. 9, pp. 1085-97. Ref: 117
                    Journal code: 9434197. E-ISSN: 1744-7658.
PUB. COUNTRY:
                    England: United Kingdom
DOCUMENT TYPE:
                    (COMPARATIVE STUDY)
                    Journal; Article; (JOURNAL ARTICLE)
                    General Review; (REVIEW)
LANGUAGE:
                    English
FILE SEGMENT:
                    Priority Journals
ENTRY MONTH:
                    200605
ENTRY DATE:
                    Entered STN: 8 Sep 2005
                    Last Updated on STN: 1 Jun 2006
                    Entered Medline: 31 May 2006
L18 ANSWER 2 OF 11
                        MEDLINE on STN
ACCESSION NUMBER:
                    2001687797
                                 MEDLINE
DOCUMENT NUMBER:
                    PubMed ID: 11734258
TITLE:
                    Gonadotropin-releasing-hormone-receptor antagonists.
AUTHOR:
                    Huirne J A; Lambalk C B
                    Division of Reproductive Medicine, Department of Obstetrics
CORPORATE SOURCE:
                    and Gynaecology, Vrije Universiteit Medical Centre, PO Box
```

7057, 1007MB, Amsterdam, Netherlands.

Lancet, (2001 Nov 24) Vol. 358, No. 9295, pp. 1793-803. SOURCE:

Ref: 120

Journal code: 2985213R. ISSN: 0140-6736.

PUB. COUNTRY:

England: United Kingdom

DOCUMENT TYPE:

Journal; Article; (JOURNAL ARTICLE)

General Review; (REVIEW)

LANGUAGE:

English

FILE SEGMENT:

Abridged Index Medicus Journals; Priority Journals

ENTRY MONTH:

200112

ENTRY DATE:

Entered STN: 6 Dec 2001

Last Updated on STN: 23 Jan 2002 Entered Medline: 19 Dec 2001

L18 ANSWER 3 OF 11 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER:

2002:94377 BIOSIS

DOCUMENT NUMBER:

PREV200200094377

TITLE:

Gonadotropin-releasing-hormone-receptor antagonists.

AUTHOR(S): CORPORATE SOURCE: Huirne, Judith A. F.; Lambalk, Cornelis B. [Reprint author] Division of Reproductive Medicine, Department of Obstetrics and Gynaecology, Vrije Universiteit Medical Centre, 1007MB,

Amsterdam, Netherlands cb.lambalk@azvu.nl

SOURCE:

Lancet (North American Edition), (November 24, 2001) Vol.

358, No. 9295, pp. 1793-1803. print.

ISSN: 0099-5355.

DOCUMENT TYPE:

Article

General Review; (Literature Review)

LANGUAGE:

English

ENTRY DATE:

Entered STN: 24 Jan 2002

Last Updated on STN: 21 Mar 2002

L18 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER: 2005:973086 CAPLUS 143:278206

TITLE:

New developments in the use of peptide

gonadotropin-releasing hormone antagonists versus

agonists

AUTHOR (S):

Schultze-Mosgau, Askan; Griesinger, Georg; Altgassen,

Christopher; von Otte, Soeren; Hornung, Daniela;

Diedrich, Klaus

CORPORATE SOURCE:

Department of Obstetrics and Gynecology, Campus Luebeck, Medical University of Schleswig-Holstein,

Luebeck, 23538, Germany

SOURCE:

Expert Opinion on Investigational Drugs (2005), 14(9),

1085-1097

CODEN: EOIDER; ISSN: 1354-3784 Ashley Publications Ltd.

PUBLISHER: DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

REFERENCE COUNT:

117 THERE ARE 117 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L18 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:347674 CAPLUS

DOCUMENT NUMBER:

139:317394

TITLE:

TAK-013: treatment of endometriosis, treatment of

uterine fibrosis, and GnRH

antagonist

AUTHOR(S):

Sorbera, L. S.; Castaner, J.; Leeson, P. A.

CORPORATE SOURCE:

Prous Science, Barcelona, 08080, Spain Drugs of the Future (2003), 28(2), 121-124

CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER:

SOURCE:

Prous Science

DOCUMENT TYPE:

Journal

23

LANGUAGE:

English

REFERENCE COUNT:

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2001:883823 CAPLUS

DOCUMENT NUMBER:

137:88497

TITLE:

Gonadotropin-releasing-hormone-receptor antagonists

AUTHOR (S):

Huirne, Judith A. F.; Lambalk, Cornelis B.

CORPORATE SOURCE:

Division of Reproductive Medicine, Department of

Obstetrics and Gynaecology, Vrije Universiteit Medical

Centre, Amsterdam, 1007MB, Neth. Lancet (2001), 358(9295), 1793-1803 CODEN: LANCAO; ISSN: 0140-6736

PUBLISHER:

SOURCE:

Lancet Ltd.

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

REFERENCE COUNT:

120 THERE ARE 120 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

**FORMAT** 

L18 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:459854 CAPLUS

DOCUMENT NUMBER:

133:305174

TITLE:

Cetrorelix, ASTA Medica AG

AUTHOR(S):

Norman, Peter

CORPORATE SOURCE:

Norman Consulting, Burnham, Bucks, SL1 8JW, UK

SOURCE:

Current Opinion in Oncologic, Endocrine & Metabolic

Investigational Drugs (2000), 2(2), 227-248

CODEN: COODF2; ISSN: 1464-8466

PUBLISHER:

PharmaPress Ltd.

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English 221

REFERENCE COUNT:

THERE ARE 221 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L18 ANSWER 8 OF 11 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER:

2005400963 EMBASE

TITLE:

New developments in the use of peptide gonadotropin-

releasing hormone antagonists versus agonists.

AUTHOR:

Schultze-Mosgau A.; Griesinger G.; Altgassen C.; von Otte

S.; Hornung D.; Diedrich K.

CORPORATE SOURCE:

A. Schultze-Mosgau, Medical University of

Schleswig-Holstein, Department of Obstetrics and

Gynecology, Ratzeburger Allee 160, 23538 Lubeck, Germany.

A. Schultze-Mosgau@web.de

SOURCE:

Expert Opinion on Investigational Drugs, (2005) Vol. 14,

No. 9, pp. 1085-1097. .

Refs: 117

ISSN: 1354-3784 CODEN: EOIDER

COUNTRY:

United Kingdom

DOCUMENT TYPE:

Journal; General Review

FILE SEGMENT:

Cancer 016

Urology and Nephrology 028

030 Pharmacology

036 Health Policy, Economics and Management

037 Drug Literature Index Adverse Reactions Titles 038

LANGUAGE:

English

SUMMARY LANGUAGE:

English

ENTRY DATE:

Entered STN: 22 Sep 2005

## Last Updated on STN: 22 Sep 2005

L18 ANSWER 9 OF 11 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights

reserved on STN

ACCESSION NUMBER: 2003179238 EMBASE

TITLE: TAK-013: Treatment of endometriosis, treatment of uterine

fibrosis, GnRH antagonist.

AUTHOR: Sorbera L.S.; Castaner J.; Leeson P.A.

CORPORATE SOURCE: L.S. Sorbera, Prous Science, P.O. Box 540, 08080 Barcelona,

Spain

SOURCE: Drugs of the Future, (1 Feb 2003) Vol. 28, No. 2, pp.

121-124. . Refs: 18

ISSN: 0377-8282 CODEN: DRFUD4

COUNTRY: Spain

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 010 Obstetrics and Gynecology

016 Cancer

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 29 May 2003

Last Updated on STN: 29 May 2003

L18 ANSWER 10 OF 11 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights

reserved on STN

ACCESSION NUMBER: 2001442584 EMBASE

TITLE: Gonadotropin-releasing-hormone-receptor antagonists.

AUTHOR: Huirne J.A.F.; Lambalk C.B.

CORPORATE SOURCE: Dr. C.B. Lambalk, Division of Reproductive Medicine,

Department of Obstetrics, Vrije Universiteit Medical Centre, PO Box 7057, 1007MB Amsterdam, Netherlands.

cb.lambalk@azvu.nl

SOURCE: Lancet, (24 Nov 2001) Vol. 358, No. 9295, pp. 1793-1803. .

Refs: 120

ISSN: 0140-6736 CODEN: LANCAO

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 010 Obstetrics and Gynecology

016 Cancer

028 Urology and Nephrology

030 Pharmacology

037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 3 Jan 2002

Last Updated on STN: 3 Jan 2002

L18 ANSWER 11 OF 11 TOXCENTER COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:166434 TOXCENTER

COPYRIGHT:

Copyright 2007 ACS CA13322305174Q

DOCUMENT NUMBER: TITLE:

Cetrorelix, ASTA Medica AG

AUTHOR(S):

Norman, Peter

CORPORATE SOURCE:

Norman Consulting, Burnham, Bucks, SL1 8JW, UK.

SOURCE:

Current Opinion in Oncologic, Endocrine & Metabolic

Investigational Drugs, (2000) Vol. 2, No. 2, pp. 227-248.

CODEN: COODF2. ISSN: 1464-8466.

COUNTRY:

UNITED KINGDOM

DOCUMENT TYPE:

Journal

FILE SEGMENT:

CAPLUS

OTHER SOURCE:

CAPLUS 2000:459854

LANGUAGE: ENTRY DATE:

English Entered STN: 16 Nov 2001 Last Updated on STN: 3 Apr 2002

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 09:57:38 ON 30 MAY 2007 ENTER COST CENTER (NONE):none

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2 DICTIONARY FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2

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http://www.cas.org/support/stngen/stndoc/properties.html

=> s ozarelix or D-63153

1 OZARELIX

8831473 D

24 63153

3 D-63153

(D(W)63153)

Ll

3 OZARELIX OR D-63153

=> d l1 1-3 kwic

L1 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2007 ACS on STN OTHER NAMES:

CN D-63153-Acetate

L1 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2007 ACS on STN OTHER NAMES:

CN D-63153-Embonate

L1 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2007 ACS on STN OTHER NAMES:

=> file .peptide COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 21.15 21.36

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 09:58:34 ON 30 MAY 2007

FILE 'BIOSIS' ENTERED AT 09:58:34 ON 30 MAY 2007 Copyright (c) 2007 The Thomson Corporation

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FILE 'TOXCENTER' ENTERED AT 09:58:34 ON 30 MAY 2007 COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> s l1 L2 20 L1

=> s 12 and prostate(w)cancer
3 FILES SEARCHED...

L5 3 L2 AND PROSTATE(W) CANCER

=> d 15 1-3 ibib kwic

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2007:461974 CAPLUS

DOCUMENT NUMBER:

146:462278

TITLE:

Preparation of fused pyrimidine heterocyclic

derivatives as antagonists of gonadotropin releasing

hormone (GnRH)

INVENTOR(S):

Ohno, Kohsuke; Miyagi, Takashi; Ozawa, Tomonaga;

Fushimi, Nobuhiko

PATENT ASSIGNEE(S):

Kissei Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 198pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT	NO.			KIN	D :	DATE		, 2	APPL:	ICAT:	ION 1	NO.		D	ATE	
WO 2007046392				A1 20070426			WO 2006-JP320681					20061017					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	ΒŸ,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
		ΚP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,

```
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                            JP 2005-304395
                                                                A 20051019
                                            JP 2006-147019
                                                                A 20060526
REFERENCE COUNT:
                         6
                               THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    The title fused heterocyclic derivs. represented by the following general
AΒ
     formula (I) [ring A = a five-membered cyclic unsatd. hydrocarbon or
     five-membered heteroaryl; RA = halo, cyano, NO2, each (un) substituted
     lower alkyl, lower alkenyl, lower alkynyl, alkylsulfonyl, or
    alkylsulfinyl, hydroxyiminomethyl, tetrazolyl, OW1, SW1, COW1, CO2W1,
    NHCOW1, NHCONW2W3, NW2W3, CONW1W2, SO2NW2W; W1-W3 = H or (un) substituted
    lower alkyl; or NW2W3 forms (un) substituted cyclic amino; m = an integer
    of 0-3; ring B = aryl or heteroaryl 1; RB = halo, cyano, (un) substituted
     lower alkyl, OW4, COW4, CO2W4, CONW5W6; W4-W6 = H, (un)substituted lower
    alkyl; or NW5W6 forms (un) substituted cyclic amino; E1 = O, S, N-CN; E2 =
    O, NH; U = a single bond, (un) substituted lower alkylene; X = Y, CO-Y,
    SO2-Y, S-L-Y, CO-L-Y, CO2-L-Y, SO-L-Y, SO2-L-Y, S-Z, O-Z, CO2-Z; L=
     (un) substituted lower alkylene; Y = Z, NW7W8; W7, W8 = H, (un) substituted
     lower alkyl, Z; or NW7W8 forms (un) substituted cyclic amino; Z =
    optionally fused cycloalkyl, heterocycloalkyl, aryl, or heteroaryl] or
    prodrugs or pharmacol. acceptable salts thereof or hydrates or solvates
     thereof are prepared These compds. are antagonists of gonadotropin
    releasing hormone (GnRH) also called as LH releasing hormone (LHRH) and
    are useful as (1) drugs for the prevention and/or treatment of sex
    hormone-dependent diseases, (2) reproduction regulators, (3) contraceptives,
     (4) fertility drugs, or (5) drugs for preventing recurrence of sex
    hormone-dependent cancer after surgery. The sex hormone-dependent
    diseases include uterus fibroma, true precocious puberty, amenorrhea,
    premenstrual syndrome, dysmenorrhea, polycystic ovarian syndrome, lupus
    erythematosus, hypertrichosis, dwarfism, sleep disorder, acne, alopecia,
    Alzheimer's disease, sterility, irritable bowel syndrome, prostate
    cancer, uterus cancer, ovarian cancer, breast cancer, and
    pituitary gland tumor. Thus, a suspension of 4-aminothiophene-3,4-
    dicarboxylic acid di-Me ester hydrochloride and Et3N in THF was treated
    with a solution of triphosgene in THF, stirred at 60° for 1 h,
    filtered, and concentrated, dissolved in THF, treated with a solution of
    2-chloro-5-(3,4-dihydro-2H-quinolin-1-ylsulfonyl)aniline and
     4-dimethylaminopyridine inn THF, and stirred at 60° for 2 h to give
     5-Methoxycarbonyl-3-[2-chloro-5-[(3,4-dihydro-2H-quinolin-1-
    yl)sulfonyl]phenyl]thieno[3,4-d]pyrimidine-2,4(1H,3H)-dione (II). A solution
    of II in MeOH/THF was stirred with LiOH.H2O at 60° overnight,
    acidified with 1 M aqueous HCl solution, and filtered to give
     5-carboxy-3-[2-chloro-5-[(3,4-dihydro-2H-quinolin-1-
    yl)sulfonyl]phenyl]thieno[3,4-d]pyrimidine-2,4(1H,3H)-dione (III).
    showed IC50 of 2 nM against human GnRHR1.
IT
    50-07-7, Mitomycin C
                           50-18-0, Cyclophosphamide
                                                        51-21-8, 5-Fluorouracil
     59-05-2, Methotrexate
                            566-48-3, Formestane
                                                   3778-73-2,
     3-(2-Chloroethyl)-2-[(2-chloroethyl)amino]tetrahydro-2H-1,3,2-
    oxazaphosphorine-2-oxide 15663-27-1, Cisplatin 25316-40-9, Adriamycin
     33069-62-4, Paclitaxel
                            33515-09-2, Gonadorelin 34661-75-1, Urapidil
     57773-63-4, Triptorelin
                              57773-65-6, Deslorelin 57982-77-1, Buserelin
    61012-19-9, Lecirelin 65271-80-9, Mitoxantrone
                                                        65807-02-5, Goserelin
                             74381-53-6, Leuprorelin acetate
     68247-85-8, Peplomycin
                                                              74578-38-4, UFT
     76712-82-8, Histrelin , 76932-56-4, Nafarelin 98319-26-7, Finasteride
    102676-47-1, Fadrozole
                             106133-20-4, Tamsulosin
                                                      112568-12-4, Iturelix
    112809-51-5, Letrozole
                             114977-28-5, Docetaxel
                                                      115575-11-6, Liarozole
    120287-85-6, Cetrorelix
                             120511-73-1, Anastrozole 124904-93-4,
```

140703-49-7, Meterelin 144743-92-0, Teverelix 160970-54-7,

Silodosin 164656-23-9, Dutasteride 183552-38-7, Abarelix

214766-78-6, Degarelix 295350-45-7, Ozarelix

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(coadministration drug; Fused heterocyclic derivative, medicinal composition containing the same, and medicinal use thereof)

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1312076 CAPLUS

DOCUMENT NUMBER: 146:55474

TITLE: Methods of treatment using novel LH-RH antagonists

having improved solubility properties

INVENTOR(S): Bernd, Michael; Kutscher, Bernhard; Gunther, Eckhard;

Romeis, Peter; Reissmann, Thomas; Beckers, Thomas

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 13pp., Cont.-in-part of U.S.

Ser. No. 671,573.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006281685	A1	20061214	US 2006-459583	20060724
DE 19911771	<b>A</b> 1	20000928	DE 1999-19911771	19990317
DE 19911771	B4	20060330		
US 6627609	B1	20030930	US 2000-525007	20000314
CN 1876677	Α	20061213	CN 2006-10081782	20010312
US 2004266695	A1	20041230	US 2003-671573	20030929
US 7148195	B2	20061212		
PRIORITY APPLN. INFO.:			DE 1999-19911771 A	19990317
			US 2000-525007 A	3 20000314
•			US 2003-671573 A	2 20030929
			CN 2001-807543 A	3 20010312

OTHER SOURCE(S): MARPAT 146:55474

AB The invention relates to peptides which contain N-methylated amino acid units and have improved water solubility. The invention also relates methods for treating a hormone-dependent tumor or a non-malignant indication that is treatable by LH-RH suppression, the method comprising administering to a patient in need of the treatment a therapeutically effective amount of a compound of the invention. Hormone-dependent cancers that can be treated with the methods of the invention include prostate

cancer, breast cancer, ovarian cancer, endometrial cancer, and
pancreatic cancer. Non-malignant indications which can be treated by the
methods of the invention include benign prostate hyperplasia (BPH),
endometriosis, acne, polycystic ovarian disease, dysmenorrhea, precocious
puberty, and uterine fibroids and other leiomyomas.

IT 295350-45-7P 295350-53-7P 295350-55-9P 295350-57-1P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino acid sequence; methods of treatment using novel LH-RH antagonists having improved solubility properties)

L5 ANSWER 3 OF 3 TOXCENTER COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:6766 TOXCENTER COPYRIGHT: Copyright 2007 ACS DOCUMENT NUMBER: CA14604055474W

TITLE: Methods of treatment using novel LH-RH antagonists having

improved solubility properties

AUTHOR(S): Bernd, Michael; Kutscher, Bernhard; Gunther, Eckhard;

Romeis, Peter; Reissmann, Thomas; Beckers, Thomas

PATENT INFORMATION: US 2006281685 Al 14 Dec 2006 SOURCE: (2006) U.S. Pat. Appl. Publ., 13pp., Cont.-in-part of U.S. Ser. No. 671,573. CODEN: USXXCO. COUNTRY: GERMANY, FEDERAL REPUBLIC OF DOCUMENT TYPE: Patent FILE SEGMENT: CAPLUS OTHER SOURCE: CAPLUS 2006:1312076 LANGUAGE: English ENTRY DATE: Entered STN: 9 Jan 2007 Last Updated on STN: 15 May 2007 . . amt. of a compd. of the invention. Hormone-dependent cancers that can be treated with the methods of the invention include prostate cancer, breast cancer, ovarian cancer, endometrial cancer, and pancreatic cancer. Non-malignant indications which can be treated by the methods of the. RN 9034-40-6 (LH-RH) RN **295350-45-7**; 295350-53-7; 295350-55-9; 295350-57-1 => d 12 1-20 L2ANSWER 1 OF 20 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN ΑN 2002:114047 BIOSIS DN PREV200200114047 TT Structure-function studies of linear and cyclized peptide antagonists of the GnRH receptor. ΑU Beckers, Thomas [Reprint author]; Bernd, Michael; Kutscher, Bernd; Kuehne, Ronald; Hoffmann, Silke; Reissmann, Thomas CS Department Pharmacology 3, Oncology Research, Byk-Gulden GmbH, Byk-Gulden Strasse 2, 78467, Konstanz, Germany T.Beckers@vff.uni-frankfurt.de SO Biochemical and Biophysical Research Communications, (December 7, 2001) Vol. 289, No. 3, pp. 653-663. print. CODEN: BBRCA9. ISSN: 0006-291X. DTArticle LA English ED Entered STN: 30 Jan 2002 Last Updated on STN: 21 Mar 2002 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2007 ACS on STN L2 ΑN 2007:461974 CAPLUS DN 146:462278 TI Preparation of fused pyrimidine heterocyclic derivatives as antagonists of gonadotropin releasing hormone (GnRH) Ohno, Kohsuke; Miyagi, Takashi; Ozawa, Tomonaga; Fushimi, Nobuhiko IN Kissei Pharmaceutical Co., Ltd., Japan PASO PCT Int. Appl., 198pp. CODEN: PIXXD2 DTPatent LΑ Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_ \_ \_ \_ ----------ΡI WO 2007046392 **A1** 20070426 WO 2006-JP320681 20061017 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,

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ΑN
     2006:1312076 CAPLUS
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     146:55474
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     Methods of treatment using novel LH-RH antagonists having improved
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     Bernd, Michael; Kutscher, Bernhard; Gunther, Eckhard; Romeis, Peter;
     Reissmann, Thomas; Beckers, Thomas
PA
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     U.S. Pat. Appl. Publ., 13pp., Cont.-in-part of U.S. Ser. No. 671,573.
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    Method for producing sterile suspensions or lyophilizates of poorly
     soluble basic peptide complexes, pharmaceutical formulations containing
     the same, and use thereof as medicaments
IN
     Rischer, Matthias; Mueller, Horst; Werner, Karl; Engel, Juergen
     Zentaris GmbH, Germany
PA
     PCT Int. Appl., 83 pp.
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AN
     2006:608388 CAPLUS
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    Process for producing pharmaceutical formulations comprising sterile
     suspensions of slightly soluble basic peptide complexes and their
     therapeutic uses thereof
    Rischer, Matthias; Mueller, Horst; Werner, Karl; Engel, Juergen
IN
PA
     Zentaris GmbH, Germany
    U.S. Pat. Appl. Publ., 31 pp.
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    New peptidic GnRH antagonists offer a broad range of therapeutic
     applications
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     Engel, J. B.; Diedrich, K.; Honig, A.
CS
    Dep. OB/GYN, University of Wuerzburg, Wuerzburg, 97080, Germany
SO
     Letters in Drug Design & Discovery (2005), 2(7), 533-536
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AN
     2004:857446 · CAPLUS
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     141:326194
     Gonadotropin releasing hormone (GnRH) analogs conjugates with steroid
TI
    hormones and therapeutic uses thereof
IN
     Millar, Robert Peter
PA
    Ardana Bioscience Limited, UK
    PCT Int. Appl., 76 pp.
SO
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AN
     2004:310961 CAPLUS
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     Administration form for pharmaceutically active peptides with sustained
     release and method for their production
IN
     Bauer, Horst; Reissmann, Thomas; Romeis, Peter; Roessler, Berthold
PA
     Baxter Healthcare S. A., Switz.
SO
     PCT Int. Appl., 36 pp.
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     Administration form for pharmaceutically active peptides with sustained
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     2003:434387 CAPLUS
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     Injection solutions with increased stability comprising LHRH antagonists,
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     Sarlikiotis, Werner; Bauer, Horst; Rischer, Matthias; Engel, Juergen;
     Guethlein, Frank; Di Stefano, Dominique
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     Zentaris A.-G., Germany
     PCT Int. Appl., 12 pp.
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     Injectable solution of an LHRH antagonist
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     Sarlikiotis, Werner; Bauer, Horst; Rischer, Matthias; Engel, Jurgen
PA
     U.S. Pat. Appl. Publ., 3 pp.
     CODEN: USXXCO
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     Treatment of dementia and neurodegenerative diseases with intermediate
TI
     doses of LHRH antagonists
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     Beckers, Thomas; Bernd, Michael; Kutscher, Bernd; Kuehne, Ronald;
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     Department of Cancer Research, ASTA Medica AG, Frankfurt/Main, 60314,
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     Engel, Juergen; Riethmueller-Winzen, Hilde; Felberbaum, Ricardo; Diedrich,
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     Rischer, Matthias; Mueller, Horst; Werner, Karl; Engel, Juergen
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     Bauer, Horst; Reissmann, Thomas; Romeis, Peter; Roessler, Berthold
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